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     5 JAN 28
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        JAN 28 USGENE now provides USPTO sequence data within 3 days
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                 of publication
NEWS
                 TOXCENTER enhanced with reloaded MEDLINE segment
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                 MEDLINE and LMEDLINE reloaded with enhancements
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                 STN-Express, Version 8.3, now available
NEWS 10 FEB 20 PCI now available as a replacement to DPCI
NEWS 11 FEB 25
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NEWS 13
                 U.S. National Patent Classification
NEWS 14
                 IFICUB, IFIPAT, and IFIUDB enbanced with new custom
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                 TPC display formats
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                 CA/Caplus and CASREACT patent number format for U.S.
                 applications updated
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                LPCI now available as a replacement to LDPCI
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        MAR 31
                EMBASE, EMBAL, and LEMBASE reloaded with enhancements
        APR 04 STN AnaVist, Version 1, to be discontinued
NEWS 19
NEWS 20
        APR 15 WPIDS, WPINDEX, and WPIX enhanced with new
                 predefined hit display formats
        APP 28 EMBASE Controlled Term thesaurus enhanced
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NEWS 23 MAY 30
                INPAFAMOB now available on STN for patent family
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NEWS 24
        MAY 30
                DGENE, PCTGEN, and USGENE enhanced with new homology
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AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

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NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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STRUCTURE FILE UPDATES: 30 MAY 2008 HIGHEST RN 1024110-44-8 DICTIONARY FILE UPDATES: 30 MAY 2008 HIGHEST RN 1024110-44-8

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```
chain nodes :
6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 24 25
26 27 28
ring nodes :
1 2 3 4 5
chain bonds ;
3-18 4-6 5-7 7-8 8-9 9-10 10-11 11-12 12-13 12-15 13-14 15-16 00
16-17 18-19 18-20 19-21 21-22 22-23 23-24 24-25 24-26 25-27 27-28
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 2-3 3-4 3-18 7-8 8-9 10-11 11-12 12-13 12-15 13-14
15-16 16-17 18-19 18-20 19-21 22-23 23-24 24-25 24-26 25-27
exact bonds :
4-5 4-6 5-7 9-10 21-22 27-28
isolated ring systems :
containing 1 :
```

Match level : 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS

24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS

## STRUCTURE UPLOADED 1.1.

⇒> 8 ll SAMPLE SEARCH INITIATED 20:22:57 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED -0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS

O ANSWERS

10/517,847

SEARCH TIME: 00.00.01

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BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 0 TO 0
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> 8 ll ful

FULL SEARCH INITIATED 20:23:04 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1 TO ITERATE

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13 1 SEA SSS FUL DI

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=> s 13

14 13

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14 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STR ACCESSION NUMBER: 2003:1006959 CAPLUS DOCUMENT NUMBER: 140:42180

TITLE: having Preparation of nitrogenous beterocycle prodrugs

N-(2-acyloxyethyl)-N-methylcarbamoyl groups Kamiyama, Keiji: Banno, Hiroshi) Sato, Fumihiko;

Basuoka, Atsushi

PATENT ASSIGNEE (S): SOURCE:

Takeda Chemical Industries, Ltd., Japan

PCT Int. Appl., 75 pp. CODEN: PIXXD2

DOCUMENT TYPE:

INVENTOR(8):

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.					APPLICATION NO.	DATE
WO 2	w: AE, CO,	AG, AL, CR, CU,	-AM, : -CZ, :	20031224 AT, AU, AZ, DE, DK, DM,	WO 2003-JP7545 BA, BB, BG, BE, BY, BZ, DZ, EC, BE, BS, FI, GB, JP, KE, KG, KR, KZ, LC,	CA, CH, CM, GD, GR. GH.
	PL,	PT, RO, Enc. es	- MA, 1 - RU, 1 - N2	4D, MG; MK, 3C, SD, SB, 7C YN YH	MN, MW, MX, MZ, NI, NO.	NZ, OM, PH, TE, TT, TZ,
	KG, FI,	KZ, MD, FR, GB,	RU, 1 GR, 1	w, mz, su. CJ, TM, AT, KO, IE, IJ.	EA, 2M, 2W, 5L, 8Z, 1Z, UG, 2M, 2W, BE, BG, CH, CY, CZ, DE, LU, MC, NL, PT, RO, SE, GN, GQ, GW, ML, MR, NE,	DK, EE, ES, Si. Sk. TR.
AU 2 JP 2	CA 2489979 AU 2003242388 JP 2004307457			20031224 20031231 20041104	CA 2003-2489470 AU 2003-242388 JP 2003-169308 EP 2003-733425	20030613 20030613 20030613
CN 1 ZA 2 US 2	R: AT, IE, 678315 90509099 00602933	86, CH, SI, DT, 0	OE, ( LV, I A A	)K, BS, FR, TI, BO, MK, 20051005 20060726 20061228	GB, GR, IT, LI, LO, NL, CY, AL, TR, BG, CZ, RE, CN 2003-818895 ZA 2005-90	SE, MC, PT, NO, SK 20030613 20050105
PRIORITY	appin. I	NFO.:			JP 2003-41085 7	A 20020614
				*	WO 2003-JF7545	7 20030613

OTHER SOURCE(S): MARPAT 140:42180 636565-78-1P

RL: PAC (Pharmacological activity): SPN (Synthetic preparation); TBU (Therapeutic use); BIOL (Blological study); PREP (Freparation); USES

(preparation of mitrogenous beterocycle prodrugs having N-(acyloxyethyl)-Nthe state of the same of the

methylcarbamoyl groups)

RN 636565-78-1 CAPLUS

CN Carbonic acid,

2-[[[4-[[[2-[[(cyanoamino)(methylamino)methylene]amino]athy l]thio]methyl]-5-methyl-lH-imidazol-l-yl]carbonyl]methylamino]ethyl ethyl

ester (901) (CA INDEX NAME)

NHMe

NC-NA-C-R-CH2-CH2-S-CH2

GI

8

AB Disclosed is a compound having a group represented by the formula (I) (X1,

X2 = 0, 8; W = (un)substituted bivalent hydrocarbon chain, -W1-2-W2-;
wherein W1, W2 = bivalent hydrocarbon chain, a bond; Z =
(un)substituted

bivalent hydrocarbon ring or heterocyclic ring, 0, 5, 80, 802, (un) substituted NH; provided that when z=0, 8, 80, 802, or (un) substituted NH, then W1; W2 = bivalent hydrocarbon chain; E = H, (un) substituted hydrocarbon group or heterocyclic ring; or R is not H,

may be linked to W; D1, D2 = a bond. O, S, (un)substituted NH; Y = (un)substituted hydrocarbyl or heterocyclyl) as a modifying group to be eliminated from a prodrug. It enables prodrug development based on the modification of a nitrogenous heterocycle, etc., with S = N-(2-acyloxyethyl)-N-

methylcarbamoyl groups. For example, 3'-azido-3'-deoxythymidine (zidovudine), N-cyano-N'-methyl-N''-[2-((4-methyl-5-imidazolyl)-methylthio)sthyl]guanidine (cimetidine), (R)-2-[[(3-methyl-4-(2,2,2-trifluorosthoxy)-2-pyridyl]methyl]sulfinyl]-18-benzimidazole [(R)-(+)-lansoprazole], 2-[[(3,5-bimethyl-4-methoxy-2-pyridyl]sulfinyl]-5-methoxy-18-benzimidazole (cmeprazole),

2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridyl]methyl]sulfinyl]benzimidazole (rabsprazole), 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridyl)methyl]sulfinyl]-18-benzimidazole (pantoprazole), or 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-18-lmidazo[4,5-b]pyridine (tenatoprazole) were modified by one of COMMeCH2CH2OCO2Et, COMMeCH2CH2OAc, and COMMeCH2CH2OCO2-(tetrahydropyranyl-

4-yl) groups.

REFERENCE COUNT:

2.0

THERE ARE 10 CITED REFERENCES AVAILABLE FOR

THIS

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FORMAT

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SINCE FILE

TOTAL

FULL ESTIMATED COST

entry 5,93 SESSION -184,50

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

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ENTRY -0.80 SESSION -0.80

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